

# PATENT COOPERATION TREATY

## PCT


### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 23 FEB 2005

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Applicant's or agent's file reference 1146WOORD01		<b>FOR FURTHER ACTION</b>		See Form PCT/IPEA/416
International application No. PCT/EP2004/050414		International filing date (day/month/year) 01.04.2004		Priority date (day/month/year) 03.04.2003
International Patent Classification (IPC) or national classification and IPC C07F7/18, C07D471/14				
Applicant ALTANA PHARMA AG et al.				
<p>1. This report is the International preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 4 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application</p>				
Date of submission of the demand  14.10.2004		Date of completion of this report  22.02.2005		
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer  Elliott, A Telephone No. +49 89 2399-8218		



**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/EP2004/050414

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**Box No. I Basis of the report**

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1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

**Description, Pages**

1-6 as originally filed

**Claims, Numbers**

1-10 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT  
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PCT/EP2004/050414

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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**1. Statement**

Novelty (N)	Yes: Claims	1-10
	No: Claims	-
Inventive step (IS)	Yes: Claims	-
	No: Claims	1-10
Industrial applicability (IA)	Yes: Claims	1-10
	No: Claims	-

**2. Citations and explanations (Rule 70.7):**

**see separate sheet**

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**Box No. VIII Certain observations on the international application**

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The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

**see separate sheet**

**INTERNATIONAL PRELIMINARY  
REPORT ON PATENTABILITY  
(SEPARATE SHEET)**

International application No.

PCT/EP2004/050414

The application is directed to a process for dehydrogenating compounds of formula (2) to compounds of formula (1).

The following documents will be referred to in this opinion:

- D1: WO-A-0234749
- D2: WO-A-0172757
- D3: Journal Of The American Chemical Society (05-01-1955), 77(1), 55-60
- D4: Journal Of The American Chemical Society (20-09-1953), 75(18), 4493-4495
- D5: Journal Of The American Chemical Society (19-04-1949), 71(4), 1395-1396

**Re Item V.**

With respect to the prior art documents D1-D5, the subject-matter of the present application is to be regarded as novel.

However, said subject-matter is not to be regarded as inventive on the following grounds:

As closest prior art, documents D1 and D2 can be cited as they both disclose processes for converting compounds according to formula (2) into compounds of formula 1 (cf. D1, page 58, lines 1-11 where 2,3-dichloro-5,6-dicyano-p-benzoquinone (ddq) is used as the reagent and D2, page 18, lines 1-10 where manganese dioxide is used as the reagent).

The object of the present application is therefore to be seen as the provision of an alternative method for converting compounds of formula (2) into those of formula (1).

The solution according to the present application is to use N-bromosuccinimide (NBS) as the dehydrogenating reagent.

The use of NBS to carry out this reaction is not regarded as inventive as NBS is already known to perform similar dehydrogenation reactions, cf., for example, documents D3-D5.

**Re Item VIII.**

Claims 2 and 3 would appear to contain errors in that R2 is defined as bromine.

Under the section prior art, the page numbers from first citation from the JACS should read 55-60 and not 55-69.